

(FILE 'HOME' ENTERED AT 10:48:06 ON 29 MAY 2001)

FILE 'CAPLUS' ENTERED AT 10:48:11 ON 29 MAY 2001

L1 536 S RACEMI?(L) (THREO OR ERYTHRO)
L2 0 S L1 (L) (AMINO(2W) (ACID PR ACIDS))
L3 35 S L1 (L) (AMINO(2W) (ACID OR ACIDS))
L4 2 S L3 AND (HOMOPROLIN? OR PROLIN?)

=> s l3 and (racemiza? or racemisa?)

7731 RACEMIZA?

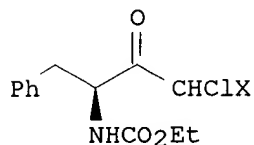
7 RACEMISA?

L5 7 L3 AND (RACEMIZA? OR RACEMISA?)

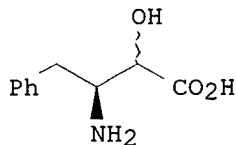
=> d bib abs 1-7

L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1998:147299 CAPLUS
DN 128:205135
TI Process for preparing .beta.-amino-.alpha.-hydroxy acid derivatives
IN Matsumoto, Shingo; Matsuo, Kazuhiko; Sugawa, Tadashi; Moroshima, Tadashi;
Inoue, Kenji
PA Kaneka Corporation, Japan; Matsumoto, Shingo; Matsuo, Kazuhiko; Sugawa,
Tadashi; Moroshima, Tadashi; Inoue, Kenji
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9807687	A1	19980226	WO 1997-JP2844	19970818
	W: US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE	JP 10059909	A2	19980303	JP 1996-234728	19960816
	EP 930292	A1	19990721	EP 1997-935797	19970818
	R: CH, DE, ES, FR, GB, IT, LI, NL				
	US 6020518	A	20000201	US 1999-242358	19990514
	US 6087530	A	20000711	US 1999-437426	19991115
PRAI	JP 1996-234728	A	19960816		
	WO 1997-JP2844	W	19970818		
	US 1999-242358	A3	19990514		
OS	CASREACT 128:205135; MARPAT 128:205135				
GI					



I

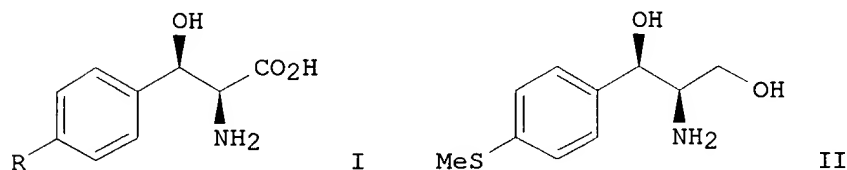


II

AB An efficient and com. feasible process for prepg. .beta.-amino

-.alpha.-hydroxy **acid** derivs. is described. The process is characterized by hydrolyzing an .alpha.-amino-.alpha.'-.alpha.'-dihaloketone deriv. represented by general formula of P1P2NCHR1COCHX1X2 in the presence of a base, optionally followed by the protection of the amino group, to prep. a .beta.-**amino**-.alpha.-hydroxy **acid** deriv. represented by general formula Q1Q2NCHR1CH(OH)CO2H. This process does not use toxic sodium cyanide and proceeds hardly with **racemization** and predominantly gives **erythro** isomers which are useful as intermediates for HIV protease inhibitors and antiviral agents. Thus, a soln. of (S)-N-(ethoxycarbonyl)phenylalanine Me ester, sodium chloroacetate, and MgCl2 in THF was stirred at 40.degree. for 3 h to give a reaction soln., to which was added over .apprx.30 min at 10.degree. a reaction soln. prepd. by stirring BuMgCl and diisopropylamine in THF at 40.degree. for 2 h, and the resulting mixt. was stirred at 40.degree. for 2 h to give a carbamate ester (I; X = H). This compd. was treated with SO2Cl2 and p-MeC6H4SO3H.H2O in EtOAc under stirring at 40.degree. for 40 h to give I (X = Cl), which was stirred with a mixt. of the toluene and 2M aq. NaOH at 40.degree. for 48 h to give, after sepn. of aq. layer and chromatog. on a column of Diaion SP207, 86% 3-amino-2-hydroxy-4-phenylbutyric acid (II) in a (2S,3S)- and (2R,3S)-isomer ratio of 84:16.

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2001 ACS
 AN 1998:16459 CAPLUS
 DN 128:23109
 TI Synthesis of 4-Sulfur-Substituted (2S,3R)-3-Phenylserines by Enzymic Resolution. Enantiopure Precursors for Thiamphenicol and Florfenicol
 AU Kaptein, Bernard; van Dooren, Thei J. G. M.; Boesten, Wilhelmus H. J.; Sonke, Theo; Duchateau, Alexander L. L.; Broxterman, Quirinus B.; Kamphuis, Johan
 CS Organic Chemistry Biotechnology Section Fine Chemicals, DSM Research, Geleen, 6160 MD, Neth.
 SO Org. Process Res. Dev. (1998), 2(1), 10-17
 CODEN: OPRDFK; ISSN: 1083-6160
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 128:23109
 GI



AB Enantiomerically pure 4-methylthio- and 4-methylsulfonyl-substituted (2S,3R)-3-phenylserines I (R = MeS, MeSO2) are prepd. by enzymic resolu.

of the corresponding **racemic threo** amides using the amidase from *Ochrobactrum anthropi* NCIMB 40321. The unwanted (2R,3S)-amide enantiomers are sepd. from the enantiopure **amino acids** and easily **racemized** after Schiff base formation with the corresponding 4-(methylthio)- and 4-(methylsulfonyl)benzaldehyde.

The **racemization** can be combined with the prepn. of the **racemic** amides by aldol reaction under crystn. conditions to yield only the **threo** isomers. Enantiopure phenylserines I (R = MeS, MeSO₂) are thus obtained in 78% and 62% overall yields starting from the corresponding substituted benzaldehydes. I (R = MeS) is reduced to diol II with NaBH₄/H₂SO₄ and can be used for the synthesis of thiamphenicol and florfenicol.

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2001 ACS

AN 1995:647241 CAPLUS

DN 123:340847

TI Synthesis of L-threo- and L-erythro-[1-¹³C, 2,3-²H₂]amino acids: novel probes for conformational analysis of peptide side chains

AU Oba, Makoto; Ueno, Ryuichi; Fukuoka, Mika; Kainosho, Masatsune; Nishiyama,

Kozaburo

CS Dep. Mater. Sci. Technol., Tokai Univ., Shizuoka, 410-03, Japan

SO J. Chem. Soc., Perkin Trans. 1 (1995), (12), 1603-9

CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

OS CASREACT 123:340847

AB An efficient and convenient route for the prepn. of L-**threo**- and L-**erythro**-[1-¹³C, 2,3-²H₂]**amino acids** (5) as probes for the conformational anal. of peptide side chains by NMR spectroscopy is described. Stereoselective incorporation of deuterium into the .alpha.,.beta.-positions of **amino acid** 5 was accomplished by catalytic deuteration of dehydroamino acid derivs., followed by a combination of enzymic optical resolu. and **racemization** at the 2-position. Using these doubly labeled **amino acids**, it was possible to obtain vicinal coupling consts. between carbonyl carbon and prochiral .beta.-protons, J(13C1-1H.beta.1) and J(13C1-1H.beta.2), through ¹³C NMR spectroscopy alone. The coupling consts. were used to det. fractional populations of rotamers, with respect to the C.alpha.-C.beta. bond, of the **amino acids**.

L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2001 ACS

AN 1993:473111 CAPLUS

DN 119:73111

TI Method for **racemization** of optically active .alpha.-hydroxy-.beta.-amino acid ester

IN Sato, Hisao; Myazawa, Yoshinobu; Koshigoe, Taichi

PA Nippon Kayaku Kk, Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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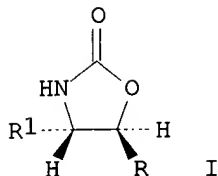
PI JP 05025107 A2 19930202 JP 1991-203605 19910719
OS MARPAT 119:73111
AB Optically active R1CH2C*H(NR2R3)CH(OH)CO2R4 (R1 = C1-8 hydrocarbyl; R2,
R3
= protecting group; R4 = ester residue; C* denotes an asym. C atom having
R or S configuration) are **racemized** by oxidn. to
.alpha.-oxo-.beta.-**amino acid** esters followed by
racemization and then redn. of the oxo group to the HO group.
Threo-N-tert-butoxycarbonyl-a-hydroxy-.beta.-**amino**
acid iso-Pr or Me ester or **threo**-N,N-dibenzyl-.alpha.-
hydroxy-.beta.-**amino acid** benzyl or Me ester are
selectively prepd. by reducing the corresponding .alpha.-oxo-.beta.-
amino acid esters. The **threo**
-.alpha.-hydroxy-.beta.-**amino acid** esters, e.g. 3-
amino-2-hydroxybutyric **acid** ester, are useful as
intermediates for anticancer bestatin and antihypertensive renin
inhibitors. Thus, oxidn. of (2R,3S)-3-(N-tert-butoxylcarbonylamino)-4-
cyclohexyl-2-hydroxybutyric acid iso-Pr ester with SO3.pyridine complex

in
CH2Cl2 contg. Et3N at ice-temp. to room temp. and **racemization**
of the resulting (S)-3-(N-tert-butoxylcarbonylamino)-4-cyclohexyl-2-
oxobutyric acid iso-Pr ester (I) (96% yield) by stirring with Et3N fluxing
gave after silica gel chromatog. 98% **racemic** I which 90.5 g) was
hydrogenated over 5% Rh-C in EtOAc at 70.degree. for 6 h to give 0.35 g
threo-3-(N-tert-butoxylcarbonylamino)-4-cyclohexyl-2-
hydroxybutyric acid iso-Pr ester and 0.09 g **erythro**-isomer.

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1991:43511 CAPLUS
DN 114:43511
TI .beta.-Amino alcohols from amino acids: chelation control via Schiff
bases
AU Polt, Robin; Peterson, Matt A.
CS Chem. Dep., Univ. Arizona, Tucson, AZ, 85721, USA
SO Tetrahedron Lett. (1990), 31(35), 4985-6
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 114:43511
AB Sequential addn. of dibal and organolithium or Grignards to Schiff base
esters derived from **amino acids** provides a simple
route to .beta.-amino alcs. The reaction proceeds without
racemization, and with high **threo** selectivity. Several
representative sphingosines are synthesized.

L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1990:217490 CAPLUS
DN 112:217490
TI Aluminum-mediated one-pot conversion of .alpha.-amino acid esters to
threo
2-amino alcohols with high diastereoselectivity
AU Kano, Shinzo; Yuasa, Yoko; Yokomatsu, Tsutomu; Shibuya, Shiroshi
CS Tokyo Coll. Pharm., Hachioji, 192-03, Japan
SO Chem. Pharm. Bull. (1989), 37(10), 2867-9
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 112:217490

GI



AB Redn. of .alpha.-**amino acid** esters PhCH₂O₂C-X-OMe (X = Ala, Leu, Phe) with dibal, followed by treatment with Grignard reagents RMgBr (R = Me, Et, Ph, PhCH₂, CH₂:CHCH₂) in a one-pot manner gave the corresponding **threo**-2-amino alcs. with high diastereoselectivity without **racemization**. The stereoselectivity was proved by cyclization to the corresponding oxazolidinones I (R = same; R₁ = Me, CH₂CHMe₂, CH₂Ph).

L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2001 ACS

AN 1963:9048 CAPLUS

DN 58:9048

OREF 58:1527h,1528f-h,1529a

TI On the Erlenmeyer reaction. I. Mechanism of **threo**-.beta.-phenylserine formation

AU Kaneko, Takeo; Harada, Kaoru

CS Osaka Univ., Nakanoshima

SO Bull. Chem. Soc. Japan (1961), 34, 1314-18

DT Journal

LA Unavailable

AB From 37 g. H₂NCH₂CO₂H (I) and 70 g. NaOH in 400 ml. water shaken with 1.06

g. BzH in 100 ml. EtOH with cooling 0.5 g. aliquots were taken at intervals, acidified with AcOH and a little water, the BzH extd. with ether, the aq. layer adjusted to pH 10 with aq. Na₂CO₃, washed with

ether, neutralized, evapd. in vacuo, and the residue paper-chromatographed and dinitrophenylated. I-phenylserine (II) ratio was detd. by paper chromatography (4:1:1 BuOH-AcOH-H₂O), hot-water elution, and ninhydrin. **threo**-II (III) and **erythro**-II (IV) were isolated (Seki, CA 54, 14342i), the dinitrophenylamino acid mixts. were chromatographed

on

Amberlite IR-112, eluted (10:1:1 pH 3.1 0.1M citric acid buffer-EtCOMe-tetrahydrofuran), and sepd. into fractions of dinitrophenyl derivs. of I, IV, and III, and dinitrophenol, whose 430 m.mu. band disappeared at pH 1. The **amino acid** ratios were (time in hrs., % I, III, IV): 0.25, 48, 28, 24; 0.5, 39, 39, 22; 1.5, 23, 52, 25; 4.5, 18, 62, 20; 24, 11, 73, 16; 50, 9, 77, 14. III or IV mixed with EtOH, BzH, and NaOH in equimolar or smaller proportions was recovered unchanged (identified by chromatography); excess alkali yielded N-benzylidene deriv. of III, and I, III, and IV in the mother liquor. (-)-IV was **racemized** in 4.5 hrs. and gave III; without BzH it retained about 76% of its optical activity after 4.5 hrs.; p-NO₂ deriv.

of

III showed conversion to IV. The mechanism involved **racemization** of .alpha.-and .beta.-C atoms and the N-benzylidene group. N-Bz deriv.

of

IV quinine salt (V), m. 214-17.degree. (decompn.) (99% EtOH),
[.alpha.]22D
-105.0.degree. (c 0.401, 99% EtOH), was formed in hot) MeOH-Me2CO. In
EtOH with concd. aq. NH3, it gave a ppt. of (-)-N-Bz deriv. of IV, m.
188-9.degree. decompn.) (35% EtOH), [.alpha.]23D -29.3.degree. (c 0.647,
99% EtOH), from which was obtained with 15% HBr (-)-IV dioxane adduct,
[.alpha.]26D -53.7.degree. (c 1.091, 2N HCl) (reduced by P and HI to
D-phenylalanine), and free (-)-IV, m. 193.degree. (decompn.) (H2O-EtOH),
[.alpha.]25D -68.0.degree. (c 0.426, 2N HCl), sweet taste. The mother
liquor of V yielded (+)-N-Bz deriv. of IV, m. 182-3.degree. (decompn.),
[.alpha.]25D 22.6.degree. (c 0.973, 99% EtOH), and L-IV, m.
179-83.degree.
(decompn.), [.alpha.]25D 51.2.degree. (c 0.757, 2N HCl), bitter taste.